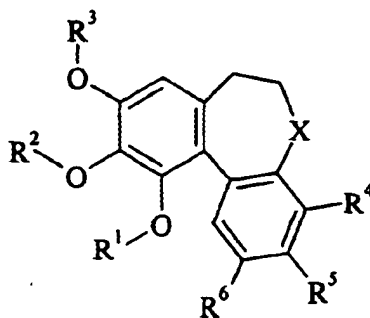


**IN THE CLAIMS:**

Claim 1 (cancelled).

Claim 2 (currently amended and reformatted): A compound of the formula

IIa:



(IIa)

wherein

X is ~~C(O)-, C(S)-, C=NOH, or~~ -CH(R<sup>7</sup>)- wherein R<sup>7</sup> is hydrogen, hydroxy, C<sub>1-7</sub>alkoxy, -OR<sup>8</sup> or -NR<sup>8</sup>R<sup>9</sup>, wherein

R<sup>8</sup> is a group -Y<sup>1</sup>R<sup>10</sup>, wherein

Y<sup>1</sup> is a direct bond, -C(O)-, -C(S)-, -S-, -C(O)O-, -C(O)NR<sup>11</sup>-, -SO<sub>2</sub>- or -SO<sub>2</sub>NR<sup>12</sup>- (wherein R<sup>11</sup> and R<sup>12</sup>, which may be the same or different, each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>10</sup> is selected from one of the following nine groups:

1) hydrogen, C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl, C<sub>1-4</sub>alkylY<sup>8</sup>C<sub>1-4</sub>alkyl wherein Y<sup>8</sup> is as defined herein, or phenyl, (which alkyl, cycloalkyl, alkylY<sup>8</sup>alkyl or phenyl group may bear one or more substituents selected from:

halogeno, amino, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, hydroxy, carboxy, carbamoyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkylsulphanyl, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkoxycarbonylamino, C<sub>1-4</sub>alkanoyl, phenyl, nitro, sulphate, phosphate, Z<sup>1</sup>, wherein Z<sup>1</sup> represents a 5-6 membered saturated heterocyclic group

(linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy,

C<sub>1-4</sub>aminoalkyl, C<sub>1-7</sub>alkanoyl, cyanoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl,

C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl and Z<sup>2</sup> (wherein Z<sup>2</sup> is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2

heteroatoms, selected independently from O, S and N, which

heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy,

C<sub>1-4</sub>aminoalkyl, C<sub>1-7</sub>alkanoyl, cyanoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl and

C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl)),

C<sub>1-4</sub>alkylZ<sup>1</sup> (wherein Z<sup>1</sup> is as defined herein), and

a group -Y<sup>2</sup>R<sup>13</sup> (wherein Y<sup>2</sup> is -NR<sup>14</sup>C(O)- or -O-C(O)- (wherein R<sup>14</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>13</sup> is C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl or a group R<sup>15</sup> wherein R<sup>15</sup> is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy,

C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy,

carboxy, cyano, -CONR<sup>16</sup>R<sup>17</sup> and -NR<sup>18</sup>COR<sup>19</sup> (wherein R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup> and R<sup>19</sup>, which may be the same or different, each represents hydrogen,

C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl));

2) R<sup>15</sup> wherein R<sup>15</sup> is as defined herein;

3) C<sub>2-7</sub>alkenylR<sup>15</sup> (wherein R<sup>15</sup> is as defined herein);

4) C<sub>3-7</sub>alkynylR<sup>15</sup> (wherein R<sup>15</sup> is as defined herein));

5)  $Z^1$  (wherein  $Z^1$  is as defined herein);

6)  $C_{1-7}alkylZ^1$  (wherein  $Z^1$  is as defined herein);

7)  $C_{1-7}alkylY^8Z^1$  (wherein  $Z^1$  is as defined herein and  $Y^8$  is  $-C(O)-$ ,  $-NR^{59}C(O)-$ ,  $-NR^{59}C(O)C_{1-4}alkyl-$ ,  $-C(O)NR^{60}-$  or  $-C(O)NR^{60}C_{1-4}alkyl-$ , (wherein  $R^{59}$  and  $R^{60}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}alkyl$ ,  $C_{1-3}hydroxyalkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ ));

8)  $(C_{1-7}alkyl)_cY^9Z^3$  (wherein  $c$  is 0 or 1,  $Z^3$  is an amino acid group and  $Y^9$  is a direct bond,  $-C(O)-$  or  $-NR^{61}-$  (wherein  $R^{61}$  is hydrogen,  $C_{1-3}alkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ )); and

9)  $C_{1-7}alkylR^{15}$  (wherein  $R^{15}$  is as defined herein);

and  $R^9$  is hydrogen,  $C_{1-7}alkyl$  or  $C_{3-7}cycloalkyl$ , which alkyl or cycloalkyl group may bear one or more substituents selected from  $C_{1-4}alkoxy$  and phenyl);

$R^1$ ,  $R^2$  and  $R^3$  are each independently hydrogen,  $PO_3H_2$ , sulphate,  $C_{3-7}cycloalkyl$ ,  $C_{2-7}alkenyl$ ,  $C_{2-7}alkynyl$ ,  $C_{1-7}alkanoyl$ , a group  $R^{20}C_{1-7}alkyl$  (wherein  $R^{20}$  is phenyl which may bear one or more substituents selected from  $C_{1-4}alkyl$ ,  $C_{1-4}alkoxy$ ,  $C_{1-4}aminoalkyl$  and  $C_{1-4}hydroxyalkoxy$ ),  $C_{1-7}alkyl$  or  $C_{1-7}alkylsulphonyl$ , (which alkyl or alkylsulphonyl group may bear one or more substituents selected from:

halogeno, amino,  $C_{1-4}alkylamino$ ,  $di(C_{1-4}alkyl)amino$ , hydroxy,  $C_{1-4}alkoxy$ ,  $C_{1-4}alkylsulphanyl$ ,  $C_{1-4}alkylsulphonyl$ ,  $C_{1-4}alkoxycarbonylamino$ ,  $C_{1-4}alkanoyl$ , carboxy, phenyl, nitro, sulphate, phosphate and a group  $-Y^2R^{21}$  (wherein  $Y^2$  is  $-NR^{22}C(O)-$  or  $-O-C(O)-$ , (wherein  $R^{22}$  represents hydrogen,  $C_{1-3}alkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ ) and

$R^{21}$  is  $C_{1-7}alkyl$ ,  $C_{3-7}cycloalkyl$  or a group  $R^{23}$  wherein  $R^{23}$  is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino,  $C_{1-4}alkyl$ ,  $C_{1-4}haloalkyl$ ,  $C_{1-4}alkoxy$ ,  $C_{1-4}hydroxyalkyl$ ,  $C_{1-4}aminoalkyl$ ,  $C_{1-4}alkylamino$ ,  $C_{1-4}hydroxyalkoxy$ , carboxy,

cyano,  $-\text{CONR}^{24}\text{R}^{25}$  and  $-\text{NR}^{26}\text{COR}^{27}$  (wherein  $\text{R}^{24}$ ,  $\text{R}^{25}$ ,  $\text{R}^{26}$  and  $\text{R}^{27}$ , which may be the same or different, each represents hydrogen,  $\text{C}_{1-3}$ alkyl or  $\text{C}_{1-3}$ alkoxy $\text{C}_{2-3}$ alkyl));

with the proviso that at least two of  $\text{R}^1$ ,  $\text{R}^2$  and  $\text{R}^3$  are  $\text{C}_{1-7}$ alkyl;

$\text{R}^4$  is hydrogen, cyano, halogeno, nitro, amino, hydroxy,  $\text{C}_{1-7}$ alkoxy,  $\text{C}_{1-7}$ thioalkoxy,  $\text{C}_{1-7}$ alkanoyl or  $\text{C}_{1-7}$ alkyl, (which alkyl group may bear one or more substituents selected from:

halogeno, amino,  $\text{C}_{1-4}$ alkylamino,  $\text{di}(\text{C}_{1-4}$ alkyl)amino, hydroxy,  $\text{C}_{1-4}$ alkoxy,  $\text{C}_{1-4}$ alkylsulphanyl,  $\text{C}_{1-4}$ alkylsulphonyl,  $\text{C}_{1-4}$ alkoxycarbonylamino,  $\text{C}_{1-4}$ alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group  $-\text{Y}^3\text{R}^{28}$  (wherein  $\text{Y}^3$  is  $-\text{NR}^{29}\text{C}(\text{O})-$  or  $-\text{O}-\text{C}(\text{O})-$  (wherein  $\text{R}^{29}$  represents hydrogen,  $\text{C}_{1-3}$ alkyl or  $\text{C}_{1-3}$ alkoxy $\text{C}_{2-3}$ alkyl) and

$\text{R}^{28}$  is  $\text{C}_{1-7}$ alkyl,  $\text{C}_{3-7}$ cycloalkyl or a group  $\text{R}^{30}$  wherein  $\text{R}^{30}$  is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino,  $\text{C}_{1-4}$ alkyl,  $\text{C}_{1-4}$ haloalkyl,  $\text{C}_{1-4}$ alkoxy,  $\text{C}_{1-4}$ hydroxyalkyl,  $\text{C}_{1-4}$ aminoalkyl,  $\text{C}_{1-4}$ alkylamino,  $\text{C}_{1-4}$ hydroxyalkoxy, carboxy, cyano,  $-\text{CONR}^{31}\text{R}^{32}$  and  $-\text{NR}^{31}\text{COR}^{32}$  (wherein  $\text{R}^{31}$ ,  $\text{R}^{32}$ ,  $\text{R}^{33}$  and  $\text{R}^{34}$ , which may be the same or different, each represents hydrogen,  $\text{C}_{1-3}$ alkyl or  $\text{C}_{1-3}$ alkoxy $\text{C}_{2-3}$ alkyl));

$\text{R}^5$  and  $\text{R}^6$  are each independently selected from hydrogen,  $-\text{OPO}_3\text{H}_2$ , phosphonate, cyano, halogeno, nitro, amino, carboxy, carbamoyl, hydroxy,  $\text{C}_{1-7}$ alkoxy,  $\text{C}_{1-7}$ alkanoyl,  $\text{C}_{1-7}$ thioalkoxy,  $\text{C}_{1-7}$ alkyl, (which alkyl group may bear one or more substituents selected from:

halogeno, amino,  $\text{C}_{1-4}$ alkylamino,  $\text{di}(\text{C}_{1-4}$ alkyl)amino, hydroxy,  $\text{C}_{1-4}$ alkoxy,  $\text{C}_{1-4}$ alkylsulphanyl,  $\text{C}_{1-4}$ alkylsulphonyl,  $\text{C}_{1-4}$ alkoxycarbonylamino,  $\text{C}_{1-4}$ alkanoyl, carboxy, phenyl, sulphate, phosphate and a group  $-\text{Y}^3\text{R}^{28}$  (wherein  $\text{Y}^3$  is  $-\text{NR}^{29}\text{C}(\text{O})-$  or  $-\text{O}-\text{C}(\text{O})-$  (wherein  $\text{R}^{29}$  represents hydrogen,  $\text{C}_{1-3}$ alkyl or

C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>28</sup> is C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl or a group R<sup>30</sup> wherein R<sup>30</sup> is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, cyano, -CONR<sup>31</sup>R<sup>32</sup> and -NR<sup>31</sup>COR<sup>32</sup> (wherein R<sup>31</sup>, R<sup>32</sup>, R<sup>33</sup> and R<sup>34</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl))), and

a group -Y<sup>4</sup>R<sup>35</sup> (wherein

Y<sup>4</sup> is -C(O)-, -OC(O)-, -O-, -SO-, -SO<sub>2</sub>-, -OSO<sub>2</sub>-, -NR<sup>36</sup>-, -C<sub>1-4</sub>alkylNR<sup>36</sup>-, -C<sub>1-4</sub>alkylC(O)-, -NR<sup>37</sup>C(O)-, -OC(O)O-, -C(O)NR<sup>38</sup>- or -NR<sup>39</sup>C(O)O- (wherein R<sup>36</sup>, R<sup>37</sup>, R<sup>38</sup> and R<sup>39</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>35</sup> is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, sulphate, hydroxy, amino, C<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>alkanoyl, C<sub>1-7</sub>alkylamino, di(C<sub>1-7</sub>alkyl)amino, aminoC<sub>1-7</sub>alkylamino, C<sub>1-7</sub>alkylaminoC<sub>1-7</sub>alkylamino, C<sub>1-7</sub>alkanoylaminoC<sub>1-7</sub>alkyl, di(C<sub>1-7</sub>alkyl)aminoC<sub>1-7</sub>alkylamino, C<sub>1-7</sub>alkylphosphate, C<sub>1-7</sub>alkylphosphonate, C<sub>1-7</sub>alkylcarbamoylC<sub>1-7</sub>alkyl, (which alkyl, alkoxy, alkanoyl, alkylamino, dialkylamino, aminoalkylamino, alkylaminoalkylamino, alkanoylaminoalkyl, dialkylaminoalkylamino, alkylphosphate, alkylphosphonate or alkylcarbamoylalkyl, may bear one or more substituents selected from:

halogeno, amino, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, hydroxy,

C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkylsulphanyl, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkoxycarbonylamino, C<sub>1-4</sub>alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group -Y<sup>5</sup>R<sup>40</sup> (wherein Y<sup>5</sup> is -NR<sup>41</sup>C(O)-, -C(O)NR<sup>42</sup>-, -C(O)-O- or -O-C(O)- (wherein R<sup>41</sup> and R<sup>42</sup> which may be the same or different each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>40</sup>

is C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl, carboxyC<sub>1-7</sub>alkyl or a group R<sup>43</sup> wherein R<sup>43</sup> is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, cyano, -CONR<sup>44</sup>R<sup>45</sup> and -NR<sup>46</sup>COR<sup>47</sup> (wherein R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup> and R<sup>47</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl))),

R<sup>48</sup> (wherein R<sup>48</sup> is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>hydroxyalkyl)aminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>aminoalkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkoxy, carboxy, C<sub>1-4</sub>carboxyalkyl, phenyl, cyano, -CONR<sup>49</sup>R<sup>50</sup>, -NR<sup>51</sup>COR<sup>52</sup> (wherein R<sup>49</sup>, R<sup>50</sup>, R<sup>51</sup> and R<sup>52</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and C<sub>1-4</sub>alkylR<sup>53</sup> (wherein R<sup>53</sup> is as defined herein),

C<sub>1-7</sub>alkylR<sup>48</sup> (wherein R<sup>48</sup> is as defined herein),

R<sup>53</sup> (wherein R<sup>53</sup> is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>carboxyalkyl, C<sub>1-4</sub>aminoalkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl and R<sup>54</sup> (wherein R<sup>54</sup> is a 5-6-membered saturated

heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl and C<sub>1-4</sub>alkylsulphonyl(C<sub>1-4</sub>alkyl)), or

(CH<sub>2</sub>)<sub>a</sub>Y<sup>6</sup>(CH<sub>2</sub>)<sub>b</sub>R<sup>53</sup> (wherein R<sup>53</sup> is as defined herein, a is 0, or an integer 1-4, b is 0 or an integer 1-4 and Y<sup>6</sup> represents a direct bond, -O-, -C(O)-, -NR<sup>55</sup>-, -NR<sup>56</sup>C(O)- or -C(O)NR<sup>57</sup>- (wherein R<sup>55</sup>, R<sup>56</sup>, and R<sup>57</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl), and wherein one or more of the (CH<sub>2</sub>)<sub>a</sub> or (CH<sub>2</sub>)<sub>b</sub> groups may bear one or more substituents selected from hydroxy, amino and halogeno));

with the proviso that R<sup>5</sup> is not hydroxy, alkoxy, substituted alkoxy (wherein R<sup>5</sup> is Y<sup>4</sup>R<sup>35</sup> and Y<sup>4</sup> is -O- and R<sup>35</sup> is C<sub>1-7</sub>alkyl bearing one or more substituents selected from the list given herein), -OPO<sub>3</sub>H<sub>2</sub>, -O-C<sub>1-7</sub>alkanoyl or benzyloxy;

with the further proviso that at least one of R<sup>5</sup> or R<sup>6</sup> is a group -Y<sup>4</sup>R<sup>35</sup> (wherein Y<sup>4</sup> and R<sup>35</sup> are as defined herein) but with the further provisos that when R<sup>5</sup> is -Y<sup>4</sup>R<sup>35</sup> and R<sup>6</sup> is hydrogen, hydroxy, methoxy or methoxycarbonyl, -Y<sup>4</sup>R<sup>35</sup> is not selected from cases wherein:

Y<sup>4</sup> is -C(O)-, -OC(O)-, -O-, -SO-, -OSO<sub>2</sub>-, -NR<sup>36</sup>-, -NR<sup>37</sup>C(O)- or -C(O)NR<sup>38</sup>- (wherein R<sup>36</sup>, R<sup>37</sup> and R<sup>38</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>35</sup> is a glycine, valine or lysine group, a dipeptide of glycine and valine groups, C<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>alkanoyl, (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from:

halogeno, hydroxy, and a group -Y<sup>5</sup>R<sup>40</sup> (wherein Y<sup>5</sup> is -O-C(O)- and R<sup>40</sup> is C<sub>1-7</sub>alkyl)), or R<sup>48</sup> (wherein R<sup>48</sup> is a tetrazolyl group (which may or may not be substituted as herein defined), a phenyl group or a benzyl group which phenyl or benzyl group may bear one or more substituents selected from C<sub>1-4</sub>alkyl); and

that when  $R^6$  is  $-Y^4R^{35}$  and  $R^5$  is hydrogen, hydroxy, methoxy or methoxycarbonyl,  $-Y^4R^{35}$  is not selected from cases wherein:

$Y^4$  is  $-C(O)-$ ,  $-O-$  or  $-OSO_2-$  and

$R^{35}$  is  $C_{1-7}$ alkyl,  $C_{1-7}$ alkoxy (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from: halogeno),  $R^{48}$  (wherein  $R^{48}$  is a benzyl group which benzyl group may bear one or more substituents selected from  $C_{1-4}$ alkyl), or  $R^{53}$  (wherein  $R^{53}$  is piperidinyl);

or a salt thereof.

Claim 3 (cancelled).

Claim 4 (original): A compound according to claim 2 wherein X is  $-\text{CH}(R^7)-$  wherein  $R^7$  is  $-\text{OR}^8$  or  $-\text{NR}^8R^9$  (wherein  $R^8$  is a group  $-Y^1R^{10}$  (wherein  $Y^1$  is  $-C(O)-$ ,  $-C(O)O-$  or  $-C(O)NR^{11}-$  (wherein  $R^{11}$  represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and  $R^{10}$  is as defined in claim 2) and  $R^9$  is as defined in claim 2).

Claim 5 (previously amended): A compound according to claim 2 wherein  $R^1$ ,  $R^2$  and  $R^3$  are each methyl.

Claim 6 (previously amended): A compound according to claim 2 wherein  $R^4$  is hydrogen.

Claim 7 (currently amended and reformatted): A compound according to claim 2 wherein  $R^6$  is hydrogen, halogeno, amino, carboxy, hydroxy,  $C_{1-7}$ alkoxy or a group  $Y^4R^{35}$  (wherein

$Y^4$  is  $-C(O)-$ ,  $-O-$  or  $-OSO_2-$  and



R<sup>35</sup> is C<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkoxy (which alkyl or alkoxy may bear one or more substituents selected from halogeno), R<sup>48</sup> (wherein R<sup>48</sup> is a benzyl group) or R<sup>53</sup> (wherein R<sup>53</sup> is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms selected independently from O, S and N)).

**Claim 8 (previously amended):** A compound according to claim 2 wherein R<sup>6</sup> is hydrogen, C(O)OCH<sub>3</sub> or methoxy.

**Claim 9 (presently amended and reformatted):** A compound according to claims 2 wherein

R<sup>5</sup> is hydrogen, halogeno, amino, carboxy, carbamoyl, C<sub>1-7</sub>alkanoyl, C<sub>1-7</sub>thioalkoxy, or a group -Y<sup>4</sup>R<sup>35</sup> (wherein

Y<sup>4</sup> is -C(O)-, -OC(O)-, -O-, -SO-, -OSO<sub>2</sub>-, -NR<sup>36</sup>-, -NR<sup>37</sup>C(O)- or -C(O)NR<sup>38</sup>-

(wherein R<sup>36</sup>, R<sup>37</sup> and R<sup>38</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>35</sup> is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, C<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>alkanoyl, C<sub>1-7</sub>alkanoylaminoC<sub>1-7</sub>alkyl, (which alkyl, alkoxy, alkanoyl, alkanoylaminoalkyl may bear one or more substituents selected from: halogeno, amino, hydroxy, carboxy, and a group -Y<sup>5</sup>R<sup>40</sup> (wherein

Y<sup>5</sup> is -C(O)-O- or -O-C(O)- and

R<sup>40</sup> is C<sub>1-7</sub>alkyl or a group R<sup>43</sup> wherein R<sup>43</sup> is a benzyl group),

R<sup>48</sup> (wherein R<sup>48</sup> is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, fluoro, amino, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>hydroxyalkyl)aminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>aminoalkyl)aminoC<sub>1-4</sub>alkyl,

C<sub>1-4</sub>hydroxyalkoxy, carboxy, C<sub>1-4</sub>carboxyalkyl, cyano, -CONR<sup>49</sup>R<sup>50</sup>,  
 -NR<sup>51</sup>COR<sup>52</sup> (wherein R<sup>49</sup>, R<sup>50</sup>, R<sup>51</sup> and R<sup>52</sup>, which may be the same or different,  
 each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and C<sub>1-4</sub>alkylR<sup>53</sup>  
 (wherein R<sup>53</sup> is as defined herein), C<sub>1-7</sub>alkylR<sup>48</sup> (wherein R<sup>48</sup> is as defined  
 herein), R<sup>53</sup> (wherein R<sup>53</sup> is a 5-6-membered saturated heterocyclic group (linked  
 via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S  
 and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, fluoro, chloro, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy,

C<sub>1-4</sub>carboxyalkyl, C<sub>1-4</sub>aminoalkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl,

C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl and R<sup>54</sup> (wherein R<sup>54</sup> is a  
 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen)

with 1-2 heteroatoms, selected independently from O, S and N, which  
 heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy,

C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl and C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl)), or

(CH<sub>2</sub>)<sub>a</sub>Y<sup>6</sup>(CH<sub>2</sub>)<sub>b</sub>R<sup>53</sup> (wherein R<sup>53</sup> is as defined herein, a is 0, or an integer 1-4, b is 0

or an integer 1-4 and Y<sup>6</sup> represents a direct bond, -O-, -C(O)-, -NR<sup>55</sup>-,

-NR<sup>56</sup>C(O)- or -C(O)NR<sup>57</sup>- (wherein R<sup>55</sup>, R<sup>56</sup>, and R<sup>57</sup>, which may be the same

or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl), and

wherein one or more of the (CH<sub>2</sub>)<sub>a</sub> or (CH<sub>2</sub>)<sub>b</sub> groups may bear one or more  
 substituents selected from hydroxy, amino and halogeno));

with the proviso that R<sup>5</sup> is not alkoxy, substituted alkoxy (wherein R<sup>5</sup> is Y<sup>4</sup>R<sup>35</sup> and Y<sup>4</sup>  
 is -O- and R<sup>35</sup> is C<sub>1-7</sub>alkyl bearing one or more substituents selected from the list  
 given herein), -O-C<sub>1-7</sub>alkanoyl or benzyloxy.

**Claim 10 (original):** A compound according to claim 2 selected from:

(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl  
 3-[[[(2R)-2,6-diaminohexanoyl]amino}propanoate,

(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl  
3-[(2-aminoacetyl)amino]propanoate,  
*N*-[[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxymethyl]-2-morpholinoacetamide,  
(2*S*,3*S*,4*S*,5*R*,6*R*)-6-[[[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxy]-3,4,5-trihydroxytetrahydro-2*H*-pyran-2-carboxylic acid,  
*N*-[(5*S*)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide,  
*N*-[(5*S*)-3-(4-{morpholinomethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide,  
(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl  
3-[4-methylpiperazin-1-ylcarbonyl]propanoate,  
5-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxycarbonyl]pentanoic acid,  
4-(3-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxy-3-oxopropyl)benzoic acid and  
(2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,  
and salts thereof.

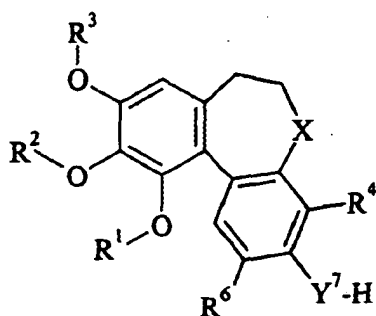
Claim 11 (original): A compound according to claim 2 selected from

*N*-[(5*S*)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide and  
(2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,  
and salts thereof.

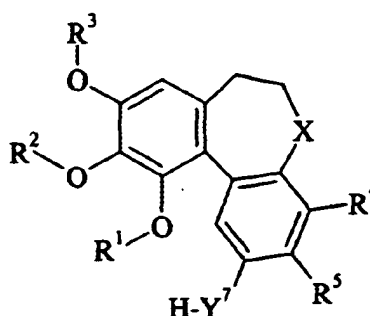
**Claim 12 (original):** A compound according to claim 2 selected from  
 (2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-  
 cyclohepten-3-yl]-2-amino-5-[(2-nitroethanimidoyl)amino]pentanamide  
 and salts thereof.

**Claim 13. (original; reformatted):** A process for the manufacture of a  
 compound of formula IIa as defined in claim 2 which comprises:

- (a) for the preparation of compounds of formula IIa and salts thereof in which R<sup>5</sup> or R<sup>6</sup> is  
 a group Y<sup>4</sup>R<sup>35</sup> (wherein R<sup>35</sup> is as defined in claim 2 and Y<sup>4</sup> is a group -OC(O)- or  
 -NHC(O)-), the reaction of a compound of formula III or IV:



(III)



(IV)

(wherein X, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> are as defined in claim 2 and Y<sup>7</sup> is -O- or -NH-), by  
 acylation or coupling reactions;

- (b) for the preparation of compounds of formula IIa and salts thereof in which R<sup>5</sup> or R<sup>6</sup> is  
 a group Y<sup>4</sup>R<sup>35</sup> (wherein R<sup>35</sup> is C<sub>1-7</sub>alkoxy which may be substituted as defined in  
 claim 2 and Y<sup>4</sup> is a group -OC(O)- or -NHC(O)-), the reaction of a compound of  
 formula III and IV, by acylation reactions;
- (c) for the preparation of compounds of formula IIa and salts thereof in which R<sup>5</sup> or R<sup>6</sup> is  
 a group Y<sup>4</sup>R<sup>35</sup> (wherein R<sup>35</sup> is aminoC<sub>1-7</sub>alkylamino, C<sub>1-7</sub>alkylaminoC<sub>1-7</sub>alkylamino,  
 di(C<sub>1-7</sub>alkyl)aminoC<sub>1-7</sub>alkylamino and may be substituted as defined in claim 2, or is

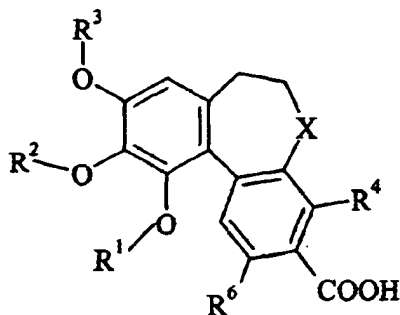
$R^{53}$  (wherein  $R^{53}$  is as defined in claim 2) and  $Y^4$  is a group  $-OC(O)-$  or  $-NHC(O)-$ ), can be prepared by the reaction of a compound of formula III or IV, acylation reactions;

(d) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is a sugar moiety and  $Y^4$  is a group  $-O-$  or  $-NH-$ ), the reaction of a compound of formula III or IV, glycosylation reactions;

(e) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is sulphate and  $Y^4$  is a group  $-O-$  or  $-NH-$ ), the reaction of a compound of formula III or IV, by sulphonylation reactions;

(f) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is  $C_{1-7}$ alkylphosphate and may be substituted as defined in claim 2 and  $Y^4$  is a group  $-O-$  or  $-NH-$ ), the reaction of a compound of formula III or IV, by phosphorylation reactions;

(g) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  is amino the reaction of a carboxylic acid of formula V:



(V)

(wherein X,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^6$  are as defined in claim 2) via Curtius rearrangement and hydrolysis; and

(h) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is chloro the reaction of a compound of formula III or IV by the Sandmeyer reaction;

and when a pharmaceutically acceptable salt of a compound of formula IIa is required, reaction of the compound obtained with an acid or base whereby to obtain the desired pharmaceutically acceptable salt.

**Claim 14 (original):** A pharmaceutical composition which comprises as active ingredient a compound of formula IIa as defined in claim 2 or a pharmaceutically acceptable salt thereof in association with a pharmaceutically acceptable excipient or carrier.

**Claim 15 (original):** A method for producing a vascular damaging effect in a warm-blooded animal, such as a human being, in need of such treatment which comprises administering to said animal an effective amount of a compound of formula IIa or a pharmaceutically acceptable salt thereof as defined in claim 2.